

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

IN RE APPLICATION OF

ULRICH POSANSKI

APPLICATION NO:

FILED: January 7, 2002

FOR: PHARMACEUTICAL COMPOSITIONS FOR SPARINGLY SOLUBLE
THERAPEUTIC AGENTS

Assistant Commissioner for Patents
Washington, D.C. 20231

PRELIMINARY AMENDMENT

Sir:

Prior to the calculation of the filing fee, please enter the following amendment.

IN THE SPECIFICATION:

Page 1, after the Title, insert -- This is a continuation of Ser. No. 09/524,965, March 14, 2000, pending, which is a continuation of 09/097,915, June 17, 1998, abandoned, which is a continuation of 08/578,527, January 5, 1996, abandoned, which is a 371 of EP94/02248, July 8, 1994. -- .

IN THE CLAIMS:

Cancel claims 1-10 and add claims 11-22:

-- 11.(new) A pharmaceutical composition comprising a solubilized therapeutic agent which is sparingly soluble in water and a carrier composition, said carrier composition comprising:

a) about 10-50% by weight, based on the carrier composition, of a co-surfactant which is substantially pure or which is in the form of a mixture, having a hydrophilic-lipophilic balance of less

than 10 (HLB value according to Griffin), selected from the group consisting of polyglycerol fatty acid esters and sorbitan fatty acid esters;

b) about 5-40% by weight, based on the carrier composition, of a pharmaceutically acceptable oil which is substantially pure or which is in the form of a mixture, comprising a triglyceride as essential lipophilic component; and

c) about 10-50% by weight, based on the carrier composition, of a nonionic surfactant which is substantially pure or which is in the form of a mixture, having an HLB value of more than 10; and further optional pharmaceutically acceptable excipients.

12. (new) A pharmaceutical composition of claim 11, comprising about 1-30% by weight, based on the total weight of the carrier composition, of a sparingly soluble therapeutic agent having a solubility in pure water of less than 500 mg/1000 mL.

13. (new) A pharmaceutical composition of claim 11, wherein the therapeutic agent is selected from the group consisting of cyclosporins, rapamycin, tacrolimus, deoxyspergualin, mycophenolate-mofetil, nifedipine, nimodipine, etoposide, and ibuprofen.

14. (new) A pharmaceutical composition of claim 11, wherein component a) consists of a substantially pure polyglycerol fatty acid or of a mixture of different polyglycerol fatty acid esters, and the polyglycerol chain contains up to and including 10 units of glycerol which are esterified with 1-10 acid esters of saturated or unsaturated carboxylic acids having an even number of 8-20 carbon atoms.

15. (new) A pharmaceutical composition of claim 11, wherein component a) contains as polyglycerol fatty acid substantially pure polyglyceryl 2-tetrastearate, polyglyceryl 3-monooleate, polyglyceryl 3-stearate, polyglyceryl 6-dioleate, polyglyceryl 6-distearate, polyglyceryl 10-dioleate, polyglyceryl 10-tetraoleate, polyglyceryl 10-decaoleate or polyglyceryl 10-decaterate, or a mixture of these compounds.

16. (new) A pharmaceutical composition of claim 11, wherein component a) consists of a substantially pure sorbitan fatty ester, or of a mixture of sorbitan fatty esters, and the sorbitan skeleton is esterified with 1-3 acid radicals of saturated or unsaturated carboxylic acids having an even number of 8-20 carboxylic atoms.

17. (new) A pharmaceutical composition of claim 11, wherein component a) contains as sorbitan fatty acid ester substantially pure sorbitan monolaurate, sorbitan monopalmitate, sorbitan monostearate, sorbitan tristearate, sorbitan monooleate, sorbitan sesquioleate, or sorbitan trioleate, or a mixture of these compounds.

18. (new) A pharmaceutical composition of claim 11, wherein component b) contains as pharmaceutically acceptable oil ground nut oil, sesame oil, sunflower oil, olive oil, corn oil, soybean oil, castor oil, cottonseed oil, rapeseed oil, thistle oil, grapeseed oil, fish oil or neutral oil, and component c) contains a nonionic surfactant with a hydrophilic component consisting of 15-60 units of ethylene oxide.

19. (new) A pharmaceutical composition comprising: a solubilized therapeutic agent which is sparingly soluble in water; and a carrier composition, said carrier composition comprising:

(a) about 10-50% by weight, based on the carrier composition, of a co-surfactant having a hydrophilic-lipophilic balance of less than 10 (HLB value according to Griffin) which is a substantially pure sorbitan fatty ester, or of a mixture of sorbitan fatty esters, and the sorbitan skeleton is esterified with 1-3 acid radicals of saturated or unsaturated carboxylic acids having an even number of 8-20 carboxylic atoms;

b) about 5-40% by weight, based on the carrier composition, of a pharmaceutically acceptable oil which is substantially pure or which is in the form of a mixture, comprising a triglyceride as essential lipophilic component; and

c) about 10-50% by weight, based on the carrier composition, of a nonionic surfactant which is substantially pure or which is in the form of a mixture, having an HLB value of more than 10, wherein said nonionic surfactant is an amphiphilic substance whose hydrophilic component consists of polyethylene oxide.

20. (new) The pharmaceutical composition of claim 19, wherein the polyethylene oxide component comprises 15 to 60 units of ethylene oxide.

21. (new) A process for the preparation of a pharmaceutical composition of claim 11, which comprises mixing components a), b), and c) and further optional pharmaceutically acceptable water-soluble excipients in any order, dispersing in this mixture the therapeutic agent which is

sparingly soluble in water and, if desired, processing the dispersion to a suitable dosage form for oral administration.

22. (new) A process of claim 21, which comprises filling the dispersion into starch or hard or soft gelatin capsules. --

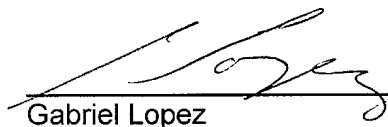
REMARKS

The claims are 11-22.

Respectfully submitted,

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Date: January 7, 2002


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